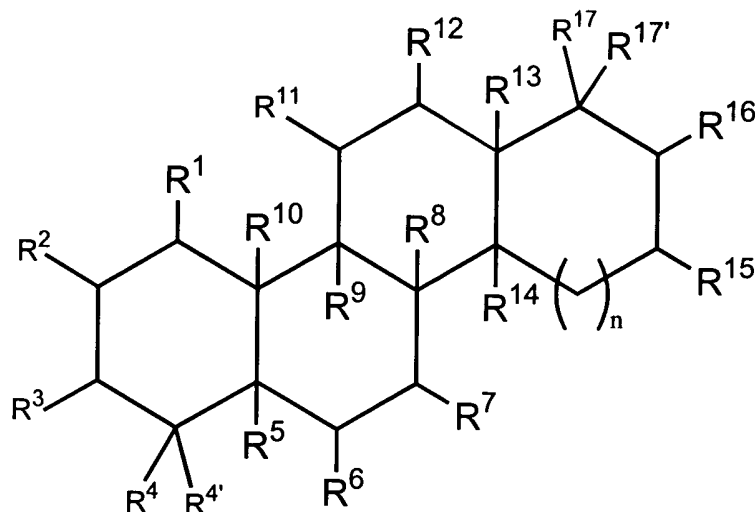


- 1 1. A compound of the following formula:



- 2
3 wherein
- 4 R³ is hydrogen, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or
5 alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO₂-, -O-SO₂-, -
6 SO₂-O-, -O-SO₃-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,
7 or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,
8 sulfonic acid, or -O-sulfonic acid;
- 9 each of R¹, R², R⁴, R^{4'}, R⁶, R⁷, R¹¹, R¹², R¹⁵, R¹⁶, and R^{17'}, independently, is
10 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl
11 that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-,
12 -O-SO₃-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -
13 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,
14 sulfonic acid, or -O-sulfonic acid;
- 15 each of R⁵, R⁸, R⁹, R¹⁰, R¹³, and R¹⁴, independently, is hydrogen, alkyl, haloalkyl,
16 hydroxyalkyl, alkoxy, hydroxy, or amino;
- 17 R¹⁷ is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -
18 NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R¹⁶ and
19 the 2 ring carbon atoms to which R¹⁶ and R¹⁷ are bonded; Y is -CO-, -SO-, -SO₂-, -O-
20 SO₂-, -SO₂-O-, -O-SO₃-, -SO₃-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,
21 -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,

22 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is
23 optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid,
24 carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,
25 alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -
26 CH(A)-B with A being a side chain of an amino acid, and B being hydrogen, -NR^aR^b, or -
27 COOR^c wherein each of R^a, R^b, and R^c, independently, is hydrogen or alkyl; and
28 n is 0, 1, or 2;
29 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a
30 bond and either X or Z contains at least one double bond, and that when Y is a bond,
31 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-
32 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic
33 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;
34 or a salt thereof.

1 2. The compound of claim 1, wherein n is 0.

1 3. The compound of claim 1, wherein R³ is amino, carboxyl, halo, sulfonic acid, -O-
2 sulfonic acid, or alkyl; R⁶ is hydroxy, amino, carboxyl, halo, sulfonic acid, -O-
3 sulfonic acid, or alkyl; and each of R³ and R⁶, independently, is in the α -
4 configuration.

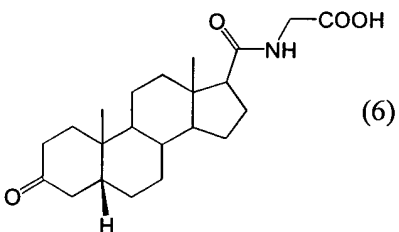
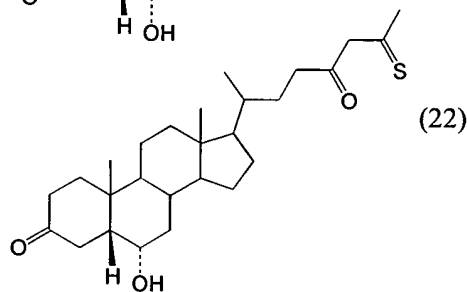
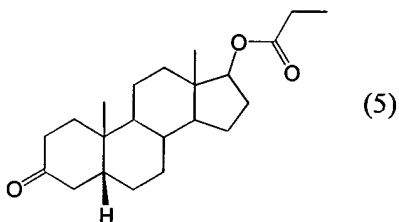
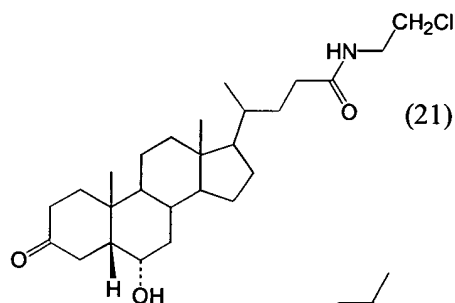
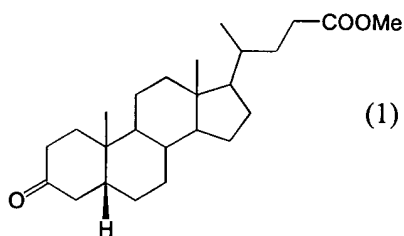
1 4. The compound of claim 1, wherein R⁵ is hydrogen and is in the β -configuration.

1 5. The compound of claim 1, wherein R³ is oxo; each of R¹, R², R⁴, R^{4'}, R⁶, R⁷, R¹¹, R¹²,
2 R¹⁵, R¹⁶, and R^{17'}, independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-
3 sulfonic acid, or alkyl.

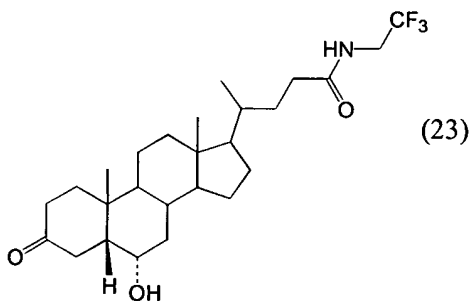
- 1 6. The compound of claim 5, wherein each of R^1 , R^2 , R^4 , R^4' , R^6 , R^7 , R^{11} , R^{12} , R^{15} , R^{16} ,
2 and $R^{17'}$, independently, is hydrogen, hydroxy, or oxo; and each of R^5 , R^8 , R^9 , R^{10} ,
3 R^{13} , and R^{14} , independently, is hydrogen or hydroxy; or a salt thereof.
- 1 7. The compound of claim 6, wherein X is a bond or alkyl.
- 1 8. The compound of claim 7, wherein Y is $-C(=O)-NH-$ or $-NH-C(=O)-$; and Z is -
2 $CH(A)-B$ with A being a side chain of Tyr or Phe, and B being $-NR^aR^b$ or $-COOR^c$
- 1 9. The compound of claim 1, wherein X is a bond or alkyl.
- 1 10. The compound of claim 9, wherein Y is $-C(=O)-NH-$ or $-NH-C(=O)-$; and Z is -
2 $CH(A)-B$ with A being a side chain of Tyr or Phe, and B being $-NR^aR^b$ or $-COOR^c$
- 1 11. The compound of claim 6, wherein Y is $-CO-$, $-O-SO_2-$, $-SO_2-O-$, $-O-SO_3-$, $-SO_3-O-$, -
2 $CO-NH-$, $-NH-CO-$, or a bond.
- 1 12. The compound of claim 11, wherein Z is alkyl, alkenyl, aryl, heteroaryl, aralkyl, or
2 heteroaralkyl, and is optionally substituted with hydroxy, alkoxy, halo, sulfonic acid,
3 carboxyl, $-O$ -sulfonic acid, alkylsulfinyl, or alkylsulfonyl; or is $-CH(A)-B$.
- 1 13. The compound of claim 1, wherein Z is alkyl or aryl, each of which being optionally
2 substituted with hydroxy; or is $-CH(A)-B$ with A being an amino acid side chain
3 having an aromatic moiety, and B being $-NR^aR^b$, or $-COOR^c$.
- 1 14. The compound of claim 1, wherein R^{17} contains a straight chain having 6-20 chain
2 atoms.

- 1 15. The compound of claim 14, wherein R¹⁷ contains a straight chain having 8-16 chain
2 atoms.
- 1 16. The compound of claim 1, wherein X is -CH(CH₃)-CH₂-, Y is a bond, and Z is -CH₂-
2 CH=C(R')(CH₃) with R' being hydroxy, alkoxy, amino, halo, sulfonic acid, -O-
3 sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy,
4 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl,
5 or alkylthio.

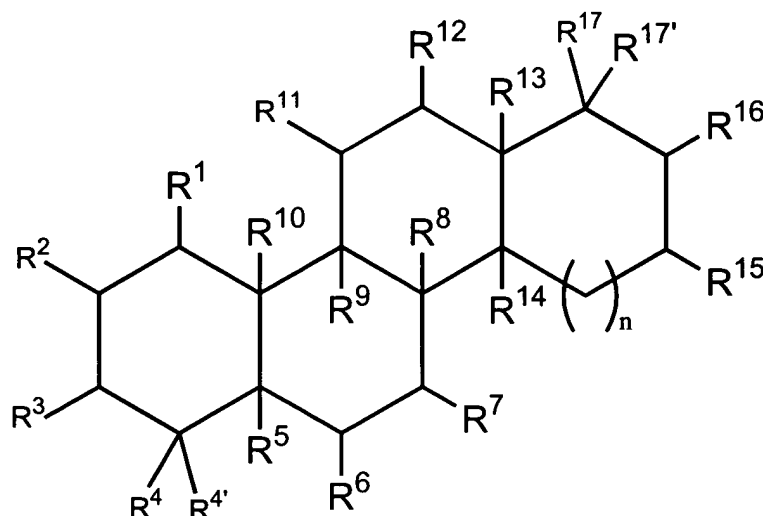
- 1 17. The compound of claim 1, wherein said compound
2 is:



or



1 18. A compound of the following formula:



2

3 wherein

4 each of R¹, R², R³, R⁴, R^{4'}, R⁶, R⁷, R¹¹, R¹², R¹⁵, R¹⁶, and R^{17'}, independently, is
 5 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl
 6 that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-,
 7 -O-SO₃-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -
 8 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,
 9 sulfonic acid, or -O-sulfonic acid;

10 each of R⁵, R⁸, R⁹, R¹⁰, R¹³, and R¹⁴, independently, is hydrogen, alkyl, haloalkyl,
 11 hydroxyalkyl, alkoxy, hydroxy, or amino;

12 R¹⁷ is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -
 13 NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R¹⁶ and
 14 the 2 ring carbon atoms to which R¹⁶ and R¹⁷ are bonded; Y is -CO-, -SO-, -SO₂-, -O-
 15 SO₂-, -SO₂-O-, -O-SO₃-, -SO₃-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,
 16 -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,
 17 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is
 18 optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid,
 19 carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,

20 alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -
21 CH(A)-B with A being an amino acid side chain containing an aromatic moiety, and B
22 being hydrogen, -NR^aR^b, or -COOR^c wherein each of R^a, R^b, and R^c, independently, is
23 hydrogen or alkyl; and
24 n is 0, 1, or 2;
25 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a
26 bond and either X or Z contains at least one double bond, and that when Y is a bond,
27 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-
28 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic
29 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;
30 or a salt thereof.

1 19. The compound of claim 18, wherein n is 0.

1 20. The compound of claim 18, wherein each of R³ and R⁶, independently, is hydroxy,
2 amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl, and is in the α -
3 configuration.

1 21. The compound of claim 18, wherein R⁵ is hydrogen and is in the β -configuration.

1 22. The compound of claim 18, wherein each of R¹, R², R³, R⁴, R^{4'}, R⁶, R⁷, R¹¹, R¹², R¹⁵,
2 R¹⁶, and R^{17'}, independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-
3 sulfonic acid, or alkyl.

1 23. The compound of claim 22, wherein each of R¹, R², R³, R⁴, R^{4'}, R⁶, R⁷, R¹¹, R¹², R¹⁵,
2 R¹⁶, and R^{17'}, independently, is hydrogen, hydroxy, or oxo; and each of R⁵, R⁸, R⁹,
3 R¹⁰, R¹³, and R¹⁴, independently, is hydrogen or hydroxy.

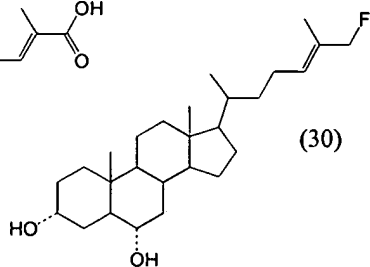
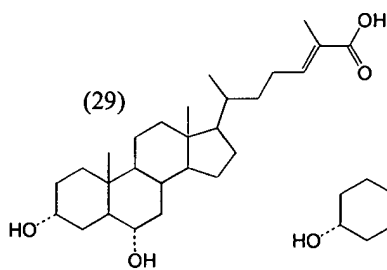
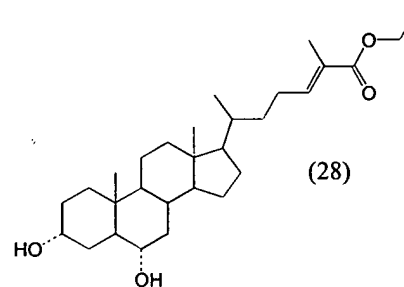
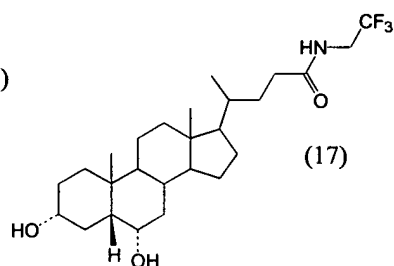
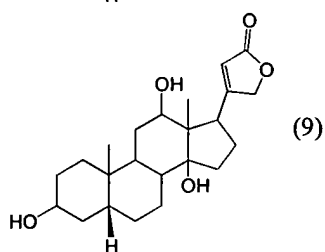
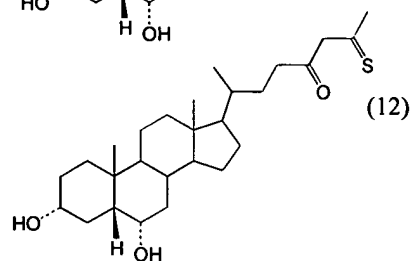
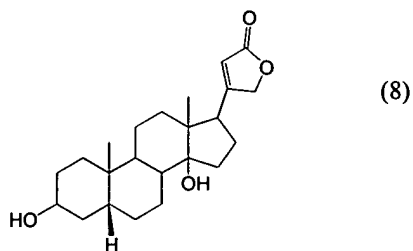
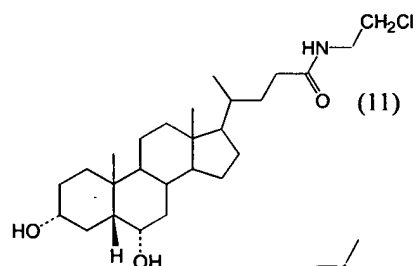
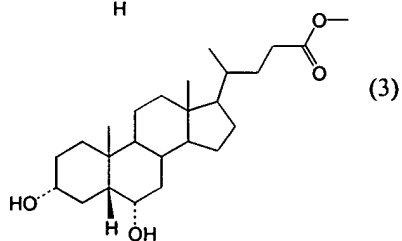
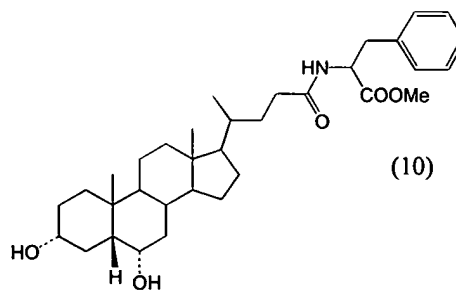
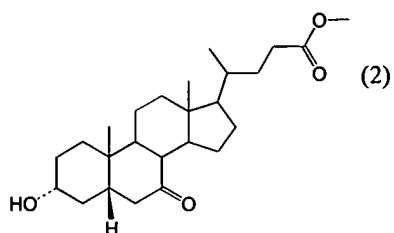
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- 1 24. The compound of claim 23, wherein X is a bond or alkyl.
- 1 25. The compound of claim 24, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR^aR^b or -COOR^c
- 1 26. The compound of claim 18, wherein X is a bond or alkyl.
- 1 27. The compound of claim 26, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR^aR^b or -COOR^c
- 1 28. The compound of claim 18, wherein Y is -CO-, -O-SO₂-, -SO₂-O-, -O-SO₃-, -SO₃-O-,
2 -CO-NH-, -NH-CO-, or a bond.
- 1 29. The compound of claim 28, wherein Z is alkyl, alkenyl, aryl, heteroaryl, aralkyl, or
2 heteroaralkyl, and is optionally substituted with hydroxy, alkoxy, halo, sulfonic acid,
3 carboxyl, -O-sulfonic acid, alkylsulfinyl, or alkylsulfonyl; or is -CH(A)-B.
- 1 30. The compound of claim 18, wherein Z is alkyl or aryl, each of which being optionally
2 substituted with hydroxy; or is -CH(A)-B with A being an amino acid side chain
3 having an aromatic moiety, and B being -NR^aR^b, or -COOR^c.
- 1 31. The compound of claim 18, wherein R¹⁷ contains a straight chain having 6-20 chain
2 atoms.
- 1 32. The compound of claim 31, wherein R¹⁷ contains a straight chain having 8-16 chain
2 atoms.

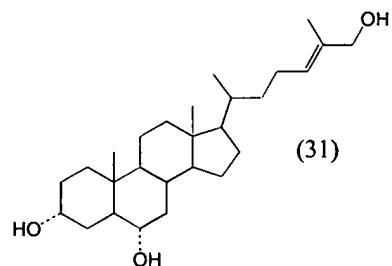
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- 1 33. The compound of claim 18, wherein X is $-\text{CH}(\text{CH}_3)-\text{CH}_2-$, Y is a bond, and Z is –
2 $\text{CH}_2-\text{CH}=\text{C}(\text{R}')(\text{CH}_3)$ with R' being hydroxy, alkoxy, amino, halo, sulfonic acid, -O-
3 sulfonic acid, carboxyl, oxo, alkyloxy carbonyl, alkylcarbonyloxy,
4 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl,
5 or alkylthio.

1 34. The compound of claim 18, wherein said compound is:

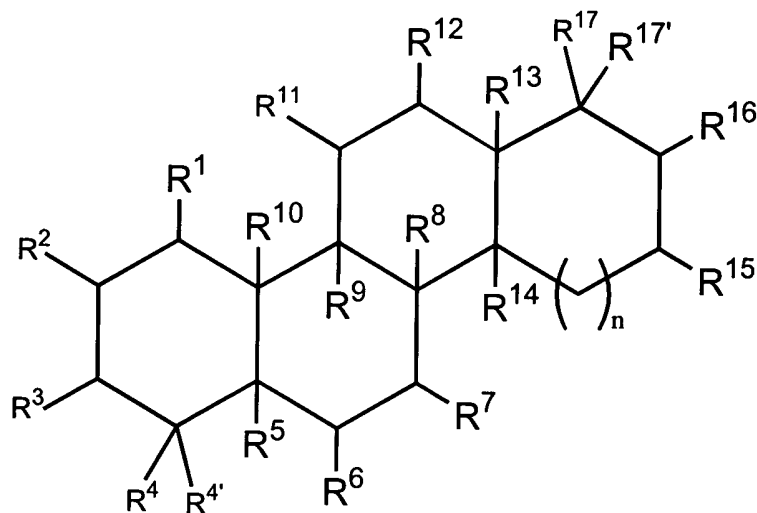


or



1 35. A compound of the following formula:

2



3

4 wherein

5 each of R¹, R², R³, R⁴, R^{4'}, R⁶, R⁷, R¹¹, R¹², R¹⁵, R¹⁶, and R¹⁷, independently, is
6 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl
7 optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -O-
8 SO₃-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -
9 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,
10 sulfonic acid, or -O-sulfonic acid;

11 each of R⁵, R⁸, R⁹, R¹⁰, R¹³, and R¹⁴, independently, is hydrogen, alkyl, haloalkyl,
12 hydroxyalkyl, alkoxy, hydroxy, or amino;

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13 R^{17} is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with
14 -NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R^{16} and
15 the 2 ring carbon atoms to which R^{16} and R^{17} are bonded; Y is -CO-, -SO-, -SO₂-, -O-
16 SO₂-, -SO₂-O-, -O-SO₃-, -SO₃-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,
17 -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,
18 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is
19 optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid,
20 carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,
21 alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -
22 CH(A)-B with A being a side chain of an amino acid, and B being hydrogen, -NR^aR^b, or -
23 COOR^c wherein each of R^a, R^b, and R^c, independently, is hydrogen or alkyl; and
24 n is 0, 1, or 2;
25 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a
26 bond and either X or Z contains at least one double bond, and that when Y is a bond,
27 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-
28 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic
29 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl; and
30 further provided that at least one of R³ and R⁴, R⁴ and R⁵, R⁵ and R⁶, R⁷ and R⁸,
31 R¹² and R¹³, and R¹⁵ and R¹⁶, independently, is deleted to form a double bond;
32 or a salt thereof.

1 36. The compound of claim 35, wherein at least one of R³ and R⁴, R⁴ and R⁵, R¹² and R¹³,
2 and R¹⁵ and R¹⁶, independently, is deleted to form a double bond.

1 37. The compound of claim 35, wherein n is 0.

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- 1 38. The compound of claim 35, wherein R^3 is hydroxy, amino, carboxyl, halo, sulfonic
2 acid, -O-sulfonic acid, or alkyl, and is in the α -configuration.
- 1 39. The compound of claim 35, wherein each of $R^1, R^2, R^3, R^4, R^4', R^6, R^7, R^{11}, R^{12}, R^{15},$
2 $R^{16},$ and $R^{17'}$, independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-
3 sulfonic acid, or alkyl.
- 1 40. The compound of claim 39, wherein each of $R^1, R^2, R^3, R^4, R^4', R^6, R^7, R^{11}, R^{12}, R^{15},$
2 $R^{16},$ and $R^{17'}$, independently, is hydrogen, hydroxy, or oxo; and each of $R^5, R^8, R^9,$
3 $R^{10}, R^{13},$ and R^{14} , independently, is hydrogen or hydroxy.
- 1 41. The compound of claim 40, wherein X is a bond or alkyl.
- 1 42. The compound of claim 41, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR^aR^b or -COOR^c
- 1 43. The compound of claim 35, wherein X is a bond or alkyl.
- 1 44. The compound of claim 35, wherein Y is -CO-, -O-SO₂-, -SO₂-O-, -O-SO₃-, -SO₃-O-,
2 -CO-NH-, -NH-CO-, or a bond.
- 1 45. The compound of claim 35, wherein Z is alkyl or aryl, each of which being optionally
2 substituted with hydroxy; or is -CH(A)-B with A being an amino acid side chain
3 having an aromatic moiety, and B being -NR^aR^b, or -COOR^c.
- 1 46. The compound of claim 35, wherein R^{17} contains a straight chain having 6-20 chain
2 atoms.

- 1 47. The compound of claim 46, wherein R^{17} contains a straight chain having 8-16 chain
2 atoms.
- 1 48. The compound of claim 35, wherein X is $-\text{CH}(\text{CH}_3)-\text{CH}_2-$, Y is a bond, and Z is $-\text{CH}_2-\text{CH}=\text{C}(\text{R}')(\text{CH}_3)$ with R' being hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio.
- 1 49. The compound of claim 35, wherein Z is alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl.
- 1 50. The compound of claim 49, wherein n is 0.
- 1 51. The compound of claim 49, wherein R^3 is hydroxy, amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl, and is in the α -configuration.
- 1 52. The compound of claim 49, wherein each of $R^1, R^2, R^3, R^4, R^{4'}, R^6, R^7, R^{11}, R^{12}, R^{15}, R^{16}$, and $R^{17'}$, independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl.
- 1 53. The compound of claim 52, wherein each of $R^1, R^2, R^3, R^4, R^{4'}, R^6, R^7, R^{11}, R^{12}, R^{15}, R^{16}$, and $R^{17'}$, independently, is hydrogen, hydroxy, or oxo; and each of $R^5, R^8, R^9, R^{10}, R^{13}$, and R^{14} , independently, is hydrogen or hydroxy.
- 1 54. The compound of claim 53, wherein X is a bond or alkyl.

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1 55. The compound of claim 54, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR^aR^b or -COOR^c

1 56. The compound of claim 49, wherein X is a bond or alkyl.

1 57. The compound of claim 56, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR^aR^b or -COOR^c

1 58. The compound of claim 49, wherein Y is -CO-, -O-SO₂-, -SO₂-O-, -O-SO₃-, -SO₃-O-,
2 -CO-NH-, -NH-CO-, or a bond.

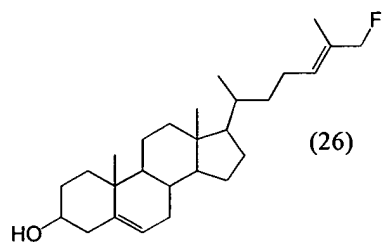
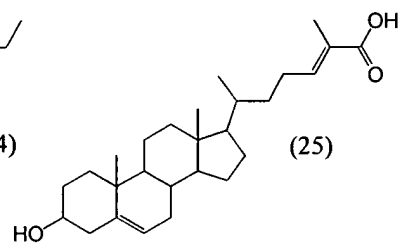
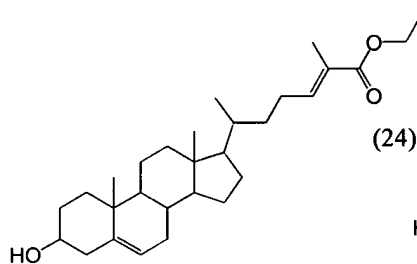
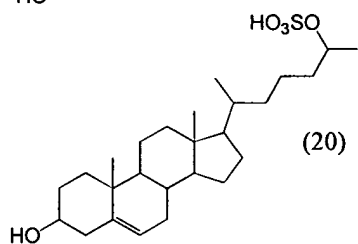
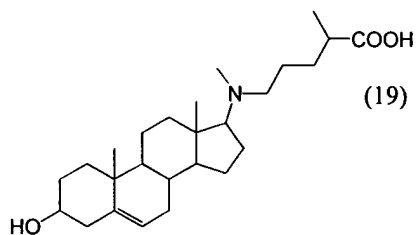
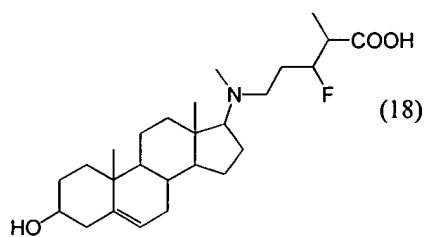
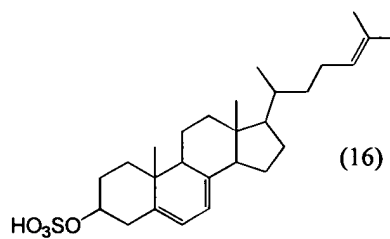
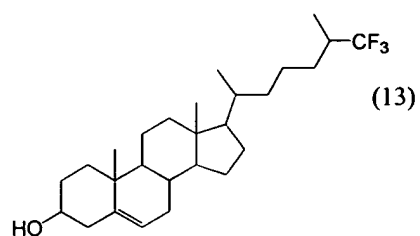
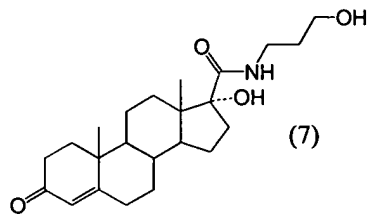
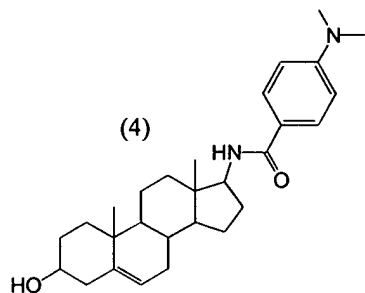
1 59. The compound of claim 49, wherein R¹⁷ contains a straight chain having 6-20 chain
2 atoms.

1 60. The compound of claim 59, wherein R¹⁷ contains a straight chain having 8-16 chain
2 atoms.

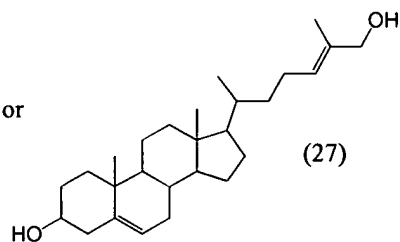
1 61. The compound of claim 49, wherein X is -CH(CH₃)-CH₂-, Y is a bond, and Z is -
2 CH₂-CH=C(R')(CH₃) with R' being hydroxy, alkoxy, amino, halo, sulfonic acid, -O-
3 sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy,
4 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl,
5 or alkylthio.

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- 1 62. The compound of claim 49, wherein said compound
2 is:

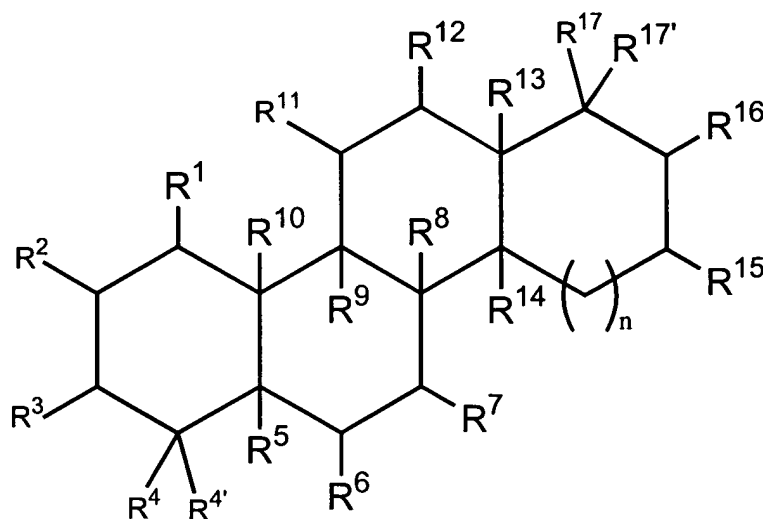


or



3

- 1 63. A pharmaceutical composition for treating a UR- or a LXR-mediated disorder, said
2 composition comprising a pharmaceutically acceptable carrier and an effective
3 amount of a compound of the following formula:



4

5 wherein

6 R^3 is hydrogen, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or
7 alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO₂-, -O-SO₂-, -
8 SO₂-O-, -O-SO₃-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,
9 or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,
10 sulfonic acid, or -O-sulfonic acid;

11 each of R^1 , R^2 , R^4 , R^4' , R^6 , R^7 , R^{11} , R^{12} , R^{15} , R^{16} , and $R^{17'}$, independently, is
12 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl
13 that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-,
14 -O-SO₃-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -
15 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,
16 sulfonic acid, or -O-sulfonic acid;

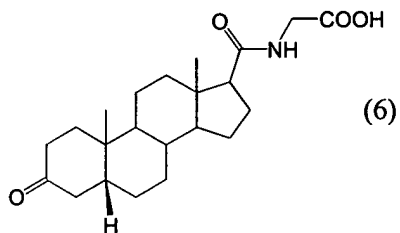
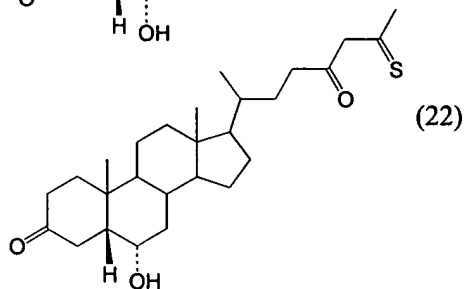
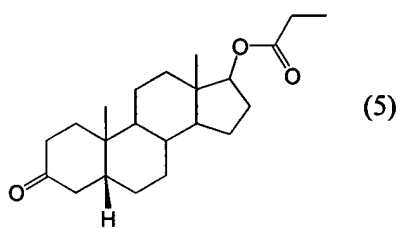
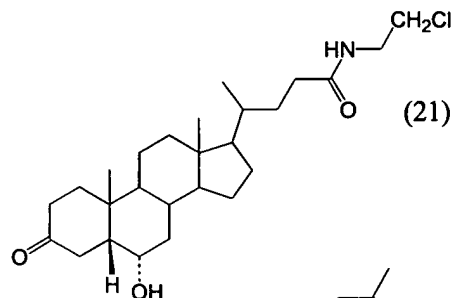
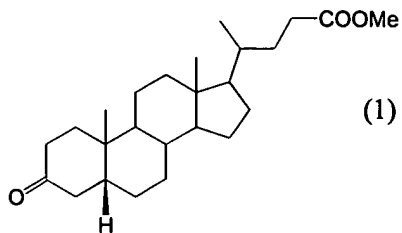
17 each of R⁵, R⁸, R⁹, R¹⁰, R¹³, and R¹⁴, independently, is hydrogen, alkyl, haloalkyl,
18 hydroxyalkyl, alkoxy, hydroxy, or amino;

19 R¹⁷ is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -
20 NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R¹⁶ and
21 the 2 ring carbon atoms to which R¹⁶ and R¹⁷ are bonded; Y is -CO-, -SO-, -SO₂-, -O-
22 SO₂-, -SO₂-O-, -O-SO₃-, -SO₃-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,
23 -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,
24 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is
25 optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid,
26 carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,
27 alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -
28 CH(A)-B with A being a side chain of an amino acid, and B being hydrogen, -NR^aR^b, or -
29 COOR^c wherein each of R^a, R^b, and R^c, independently, is hydrogen or alkyl; and
30 n is 0, 1, or 2;

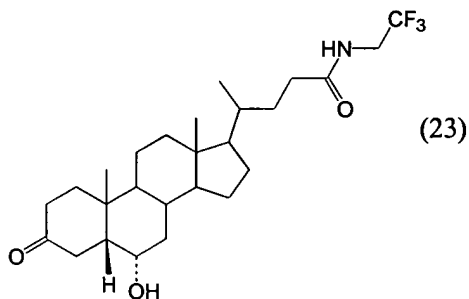
31 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a
32 bond and either X or Z contains at least one double bond, and that when Y is a bond,
33 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-
34 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic
35 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;
36 or a salt thereof.

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- 1 64. The composition of claim 63, wherein said compound
2 is:

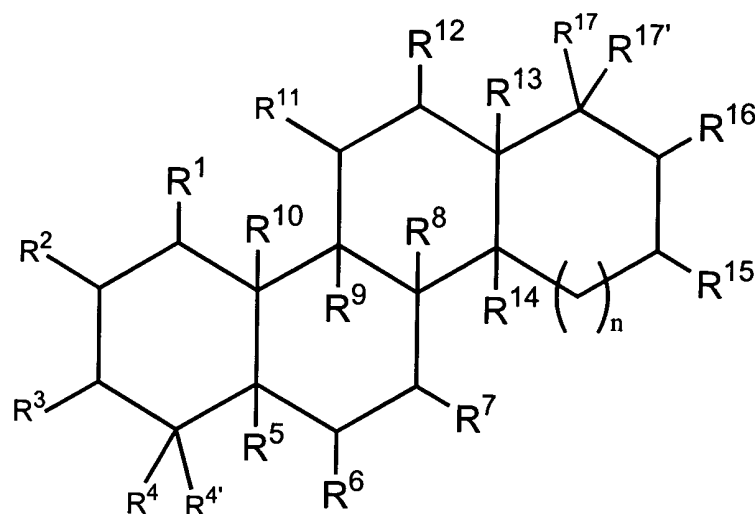


or



3

- 1 65. A pharmaceutical composition for treating a UR- or a LXR-mediated disorder, said
2 composition comprising a pharmaceutically acceptable carrier and an effective
3 amount of a compound of the following formula:

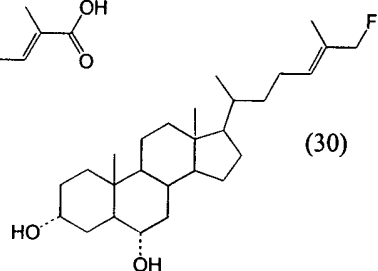
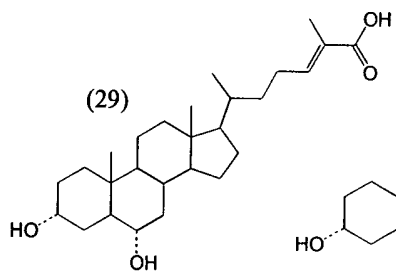
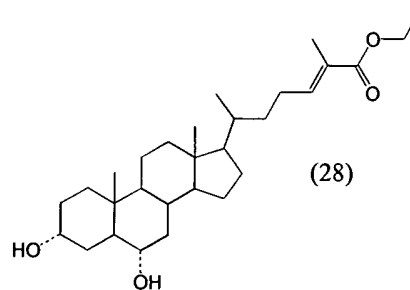
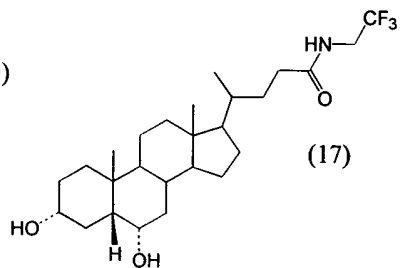
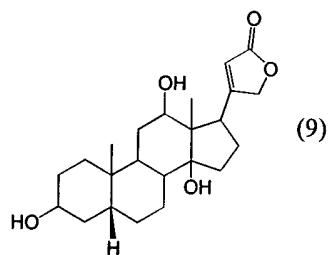
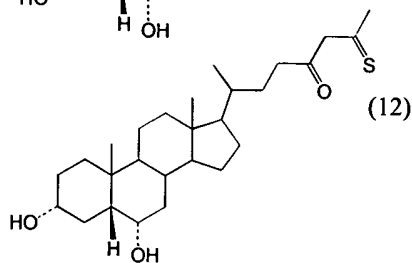
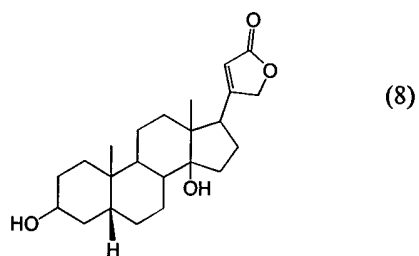
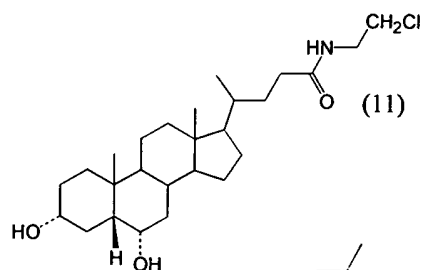
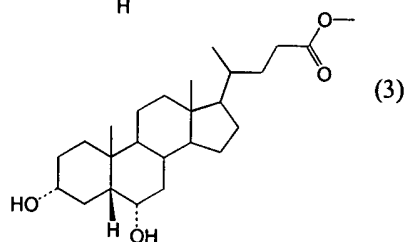
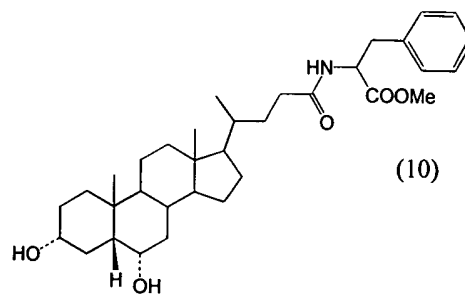
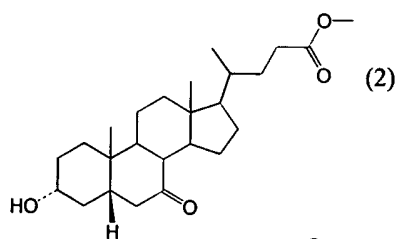


- 4
- 5 wherein
- 6 each of R^1 , R^2 , R^3 , R^4 , R^4' , R^6 , R^7 , R^{11} , R^{12} , R^{15} , R^{16} , and $R^{17'}$, independently, is
- 7 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl
- 8 that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-,
- 9 -O-SO₃-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -
- 10 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,
- 11 sulfonic acid, or -O-sulfonic acid;
- 12 each of R^5 , R^8 , R^9 , R^{10} , R^{13} , and R^{14} , independently, is hydrogen, alkyl, haloalkyl,
- 13 hydroxyalkyl, alkoxy, hydroxy, or amino;
- 14 R^{17} is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -
- 15 NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R^{16} and
- 16 the 2 ring carbon atoms to which R^{16} and R^{17} are bonded; Y is -CO-, -SO-, -SO₂-, -O-
- 17 SO₂-, -SO₂-O-, -O-SO₃-, -SO₃-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,
- 18 -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,
- 19 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is
- 20 substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid, carboxyl,
- 21 oxo, alkylloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl, alkylcarbonylamino,
- 22 alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -CH(A)-B with A being an

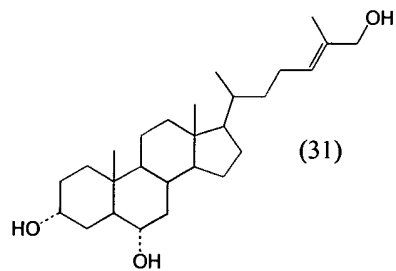
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23 amino acid side chain containing an aromatic moiety, and B being hydrogen, $-NR^aR^b$, or -
24 $COOR^c$ wherein each of R^a , R^b , and R^c , independently, is hydrogen or alkyl; and
25 n is 0, 1, or 2;
26 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a
27 bond and either X or Z contains at least one double bond, and that when Y is a bond,
28 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-
29 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic
30 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;
31 or a salt thereof.

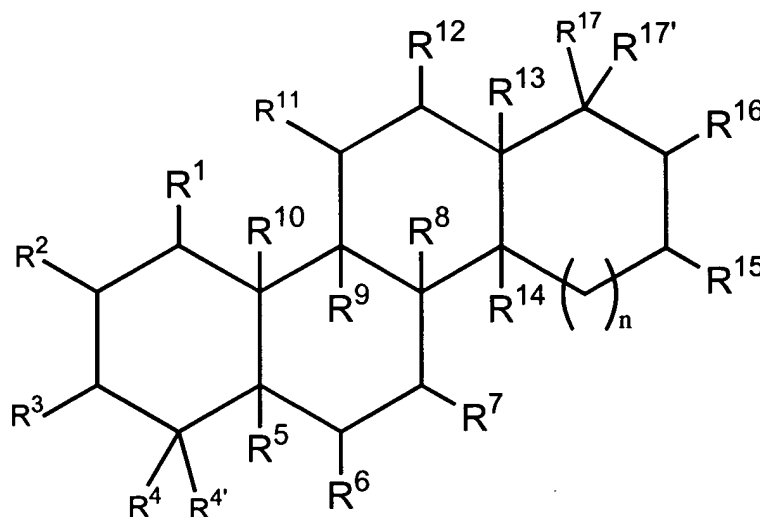
1 66. The composition of claim 65, wherein said compound is:



or



- 1 67. A pharmaceutical composition for treating a UR- or a LXR-mediated disorder, said
2 composition comprising a pharmaceutically acceptable carrier and an effective
3 amount of a compound of the following formula:



- 4
5 wherein
6 each of R¹, R², R³, R⁴, R^{4'}, R⁶, R⁷, R¹¹, R¹², R¹⁵, R¹⁶, and R^{17'}, independently, is
7 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl
8 optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -O-
9 SO₃-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -
10 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,
11 sulfonic acid, or -O-sulfonic acid;
12 each of R⁵, R⁸, R⁹, R¹⁰, R¹³, and R¹⁴, independently, is hydrogen, alkyl, haloalkyl,
13 hydroxyalkyl, alkoxy, hydroxy, or amino;
14 R¹⁷ is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with

15 -NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R¹⁶ and
16 the 2 ring carbon atoms to which R¹⁶ and R¹⁷ are bonded; Y is -CO-, -SO-, -SO₂-, -O-
17 SO₂-, -SO₂-O-, -O-SO₃-, -SO₃-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,
18 -N(alkyl)-CO-, or a bond; and Z is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl,
19 heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or
20 heteroaralkyl, and is optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic
21 acid, -O-sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy,
22 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or
23 alkylthio; or is -CH(A)-B with A being a side chain of an amino acid, and B being
24 hydrogen, -NR^aR^b, or -COOR^c wherein each of R^a, R^b, and R^c, independently, is
25 hydrogen or alkyl; and
26 n is 0, 1, or 2;
27 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a
28 bond and either X or Z contains at least one double bond, and that when Y is a bond,
29 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-
30 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic
31 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl; and further provided that at least one of
32 R³ and R⁴, R⁴ and R⁵, R⁵ and R⁶, R⁷ and R⁸, R¹² and R¹³, and R¹⁵ and R¹⁶, independently,
33 is deleted to form a double bond;
34 or a salt thereof.

1 68. The composition of claim 67, wherein said compound is:

